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(54) Title: THE PROCESS FOR MANUFACTURING FORMULATION OF TOPICAL BETA BLOCKERS WITH IMPROVED EFFICACY

(57) Abstract

Beta blockers are used as topical ophthalmic preparation for reducing Intra Ocular Pressure. B–blocker used for this purpose include timolol, levobunolol, carteolol, metipranalol. They reduce the aqueous production and thereby reduce I.O.P. They are commonly used as drops. Efficacy of topical B–blockers is dependent on concentration of drug in formulation. However, increasing the concentration of drug beyond approved dosage forms does not increase the efficacy significantly e.g. Timolol 0.5% has identical pressure lowering capacity as 1% Timolol. The attempts to improve pressure reduction efficiency of B–blockers has not met with success so far. The sustained release formulation of Timolol (Timolol XE) has resulted in amount of drug to achieve same therapeutic effect. However, none of the formulation has improved efficacy of drug for reducing I.O.P. The present invention relates to the process of manufacturing such formulation of B–blocker which improves its I.O.P lowering effect. The formulation so prepared is non–irritating and well tolerated. The process of manufacturing new formulation with improved efficacy involves use of carboprolol and preservative. The timolol 0.5% gel formulated using process was evaluated in normal as well as glaucomatous eyes. The reduction in I.O.P. is found to be approx. 15% more than found with drops in normal individuals. Similar findings are also observed in glaucomatous eyes.